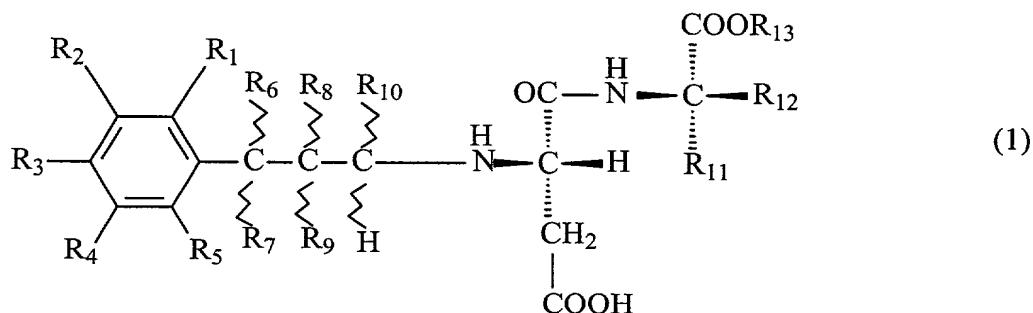


CLAIMS:

1. An N-alkylaspartyl dipeptide ester compound, and salts thereof, represented by the formula (1):



wherein R₁, R₂, R₃, R₄ and R₅ are independent from each other, selected from the group consisting of a hydrogen atom, a hydroxyl group, an alkoxy group having 1 to 3 carbon atoms, an alkyl group having 1 to 3 carbon atoms and a hydroxy alkyloxy group having two or three carbon atoms, and R₁ and R₂, or R₂ and R₃, optionally, form a methylene dioxy group, and R₄ and R₅, and R₁ or R₃ which do not form the methylene dioxy group are defined as above;

R₆, R₇, R₈, R₉ and R₁₀ are independent from each other, a hydrogen atom or an alkyl group with 1 to 3 carbon atoms; and optionally, two of R₆, R₇, R₈, R₉ and R₁₀ may combine to form an alkylene group with 1 to 5 carbon atoms, and R₆, R₇, R₈, R₉ and R₁₀ which do not form the alkylene group with 1 to 5 carbon atoms are defined as above;

R₁₁ is selected from the group consisting of a hydrogen atom, a benzyl group, a p-hydroxy benzyl group, a cyclohexyl methyl group, a phenyl group, a cyclohexyl group, a phenyl ethyl group and a cyclohexyl ethyl group;

R₁₂ is selected from the group consisting of a hydrogen atom and an alkyl group with 1 to 3 carbon atoms; and

R₁₃ is selected from the group consisting of alkyl groups with 1 to 4 carbon atoms; with the proviso that the following are excluded:

where R₆, R₇, R₈, R₉ and R₁₀ are hydrogen atoms at the same time,

where R₆ is a methyl group, R₁, R₂, R₃, R₄, R₅, R₇, R₈, R₉, R₁₀ and R₁₂ are a hydrogen atom at the same time and R₁₁ is a benzyl group or a p-hydroxy benzyl group, at the same time; and

where R_2 or R_4 are methoxy groups, R_3 is a hydroxyl group, R_{10} is a methyl group, R_1 , R_4 , R_5 , R_6 , R_7 , R_8 and R_9 are hydrogen atoms at the same time, and R_{11} is a benzyl group or a p-hydroxy benzyl group.

5 2. The compound as defined in claim 1, wherein R_3 is a methoxy group, R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} and R_{12} are hydrogen atoms, R_6 and R_{13} are methyl groups and R_{11} is a benzyl group.

10 3. The compound as defined in claim 1, wherein R_2 is a hydroxyl group, R_1 , R_3 , R_4 , R_5 , R_7 , R_8 , R_9 , R_{10} and R_{12} are hydrogen atoms, R_6 and R_{13} are methyl groups, and R_{11} is a benzyl group.

15 4. The compound as defined in claim 1, wherein R_2 is a methoxy group, R_3 is a hydroxyl group, R_1 , R_4 , R_5 , R_7 , R_8 , R_9 , R_{10} and R_{12} are hydrogen atoms, R_6 and R_{13} are methyl groups and R_{11} is a benzyl group.

20 5. The compound as defined in claim 1, wherein R_2 is a hydroxyl group, R_3 is a methoxy group, R_1 , R_4 , R_5 , R_7 , R_8 , R_9 , R_{10} and R_{12} are hydrogen atoms, R_6 and R_{13} are methyl groups and R_{11} is a benzyl group.

25 6. The compound as defined in claim 1, wherein R_2 is a methoxyl group, R_3 is a hydroxyl group, R_1 , R_4 , R_5 , R_7 , R_8 , R_9 , R_{10} and R_{13} are hydrogen atoms, R_6 and R_{13} are methyl groups and R_{11} is a p-hydroxy benzyl group.

30 7. The compound as defined in claim 1, wherein R_2 is a hydroxyl group, R_3 is a methoxy group, R_1 , R_4 , R_5 , R_7 , R_8 , R_9 , R_{10} and R_{13} are hydrogen atoms, R_6 and R_{13} are methyl groups and R_{11} is a cyclohexyl methyl group.

35 8. The compound as defined in claim 1, wherein R_3 is a methoxy group, R_1 , R_2 , R_4 , R_5 , R_8 , R_9 , R_{10} and R_{12} are hydrogen atoms, R_6 , R_7 and R_{13} are methyl groups, and R_{11} is a benzyl group.

9. The compound as defined in claim 1, wherein R₃ is a hydroxyl group, R₁, R₂, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

5 10. The compound as defined in claim 1, wherein R₂ is a methoxy group, R₃ is a hydroxyl group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

10 11. The compound as defined in claim 1, wherein R₂ is a hydroxyl group, R₃ is a methoxy group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

15 12. The compound as defined in claim 1, wherein R₂ is a methyl group, R₃ is a hydroxyl group, R₁, R₄, R₅, R₇, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

16 13. The compound as defined in claim 1, wherein R₂ is a hydroxyl group, R₃ is a methoxy group, R₁, R₄, R₅, R₆, R₇, R₉, R₁₀ and R₁₂ are hydrogen atoms R₈ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

20 14. The compound as defined in claim 1, wherein R₁ is a hydroxyl group, R₂, R₃, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

25 15. The compound as defined in claim 1, wherein R₁ is a hydroxyl group, R₃ is a methoxy group, R₂, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

30 16. The compound as defined in claim 1, wherein R₁ is a hydroxyl group, R₃ is a methyl group, R₂, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

17. The compound as defined in claim 1, wherein R₂ and R₃ combine to form a

methylene dioxy group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

18. The compound as defined in claim 1, wherein R₂ is a methyl group, R₃ is a methoxy group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇, and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

19. The compound as defined in claim 1, wherein R₂ is a methyl group, R₃ is a hydroxyl group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

20. The compound as defined in claim 1, wherein R₂ is a hydroxyl group, R₃ is a methyl group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

21. The compound as defined in claim 1, wherein R₂ is a methoxy group, R₃ is a hydroxyl group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆ and R₇ combine to form a tetramethylene group, R₁₁ is a benzyl group, and R₁₃ is a methyl group.

22. The compound as defined in claim 1, wherein R₂ is a hydroxyl group, R₃ is a methoxy group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆ and R₇ are methyl groups, R₁₁ is a benzyl group, and R₁₃ is an ethyl group.

23. The compound as defined in claim 1, wherein R₂ is a hydroxyl group, R₃ is a methoxy group, R₁, R₄, R₅, R₈, R₉ and R₁₀ are hydrogen atoms, R₆, R₇, R₁₂ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

24. The compound as defined in claim 1, wherein R₂ and R₃ is a hydroxyl group, R₁, R₄, R₅, R₈, R₉, R₁₀ and R₁₂ are hydrogen atoms, R₆, R₇ and R₁₃ are methyl groups, and R₁₁ is a benzyl group.

25. The compound as defined in claim 1, wherein when R₆ and R₇ differ, the carbon

atom to which R₈ is linked in said formula is in the (R), (S) or (RS) configuration.

26. The compound as defined in claim 1, wherein when R₈ and R₉ differ, the carbon atom to which R₈ is linked is in the (R), (S) or (RS) configuration.

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27. The compound as defined in claim 13, wherein when R₈ and R₉ differ the carbon atom to which R₈ is linked is in the (R), (S) or (RS) configuration.

28. The compound as defined in claim 1, wherein when R₁₀ is a substituent other than a hydrogen atom, the configuration of the carbon atom to which R₁₀ is linked in said formula (1) is in the (R), (S) or (RS) configuration.

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29. A composition comprising at least one compound of claim 1 and a carrier or bulking agent.

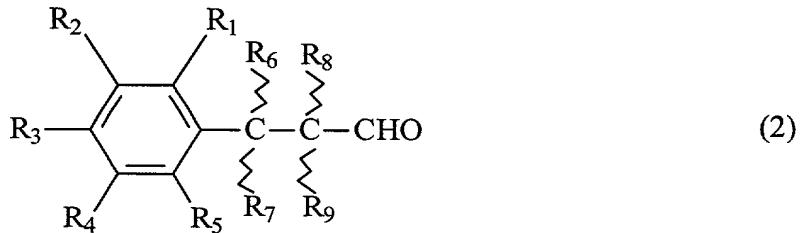
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30. A method of imparting sweetness into a substance comprising adding at least one compound of claim 1 to said substance.

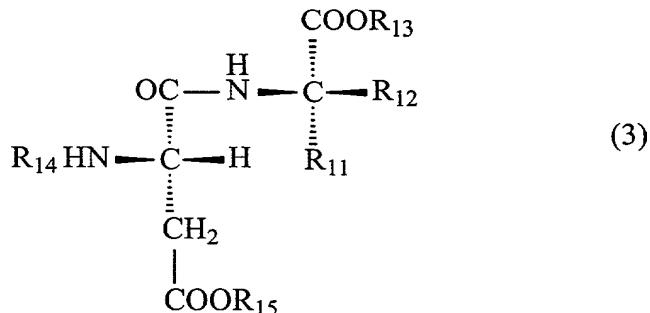
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31. A method of producing the compound as defined in claim 1, wherein R₁₀ is a hydrogen atom comprising:

reacting under reductive alkylation conditions an aldehyde having the formula (2):



25 wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈ and R₉ have the same meanings as R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈ and R₉, respectively in the above formula (1), with an aspartame compound having the formula (3):

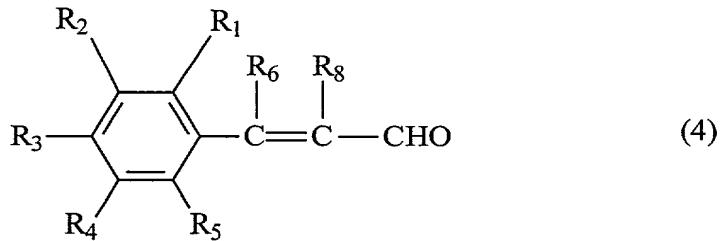


wherein R₁₁, R₁₂ and R₁₃ in formula (3) have the same meanings as R₁₁, R₁₂ and R₁₃ in formula (1), R₁₄ is a hydrogen atom or a substituent which can be converted into a hydrogen atom and R₁₅ is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.

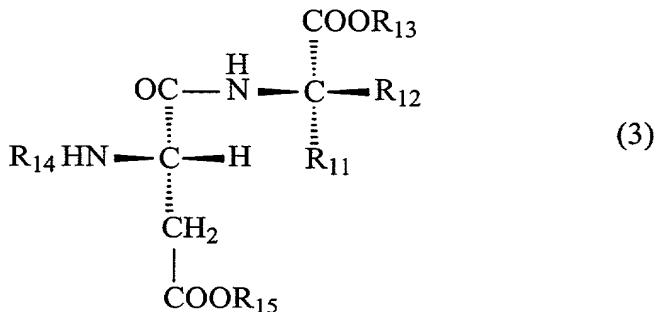
32. The method as defined in claim 1, wherein R₁₅ is a t-butyl group.

33. A method of producing the compound as defined in claim 1, wherein R₇, R₉ and R₁₀ are a hydrogen atom comprising:

reacting under reductive alkylation conditions an aldehyde having the formula (4):



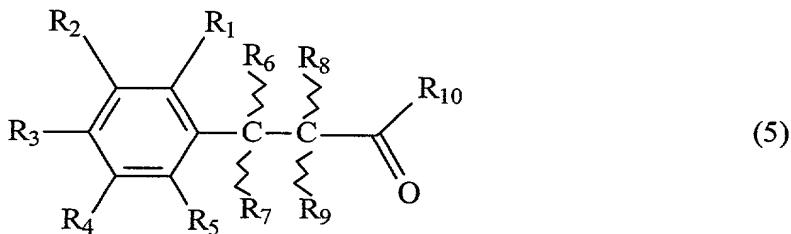
with an aspartame compound having the formula (3):



wherein R₁₁, R₁₂ and R₁₃ in formula (3) have the same meanings as R₁₁, R₁₂ and R₁₃ in formula (1), R₁₄ is a hydrogen atom or a substituent which can be converted into a hydrogen atom and R₁₅ is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.

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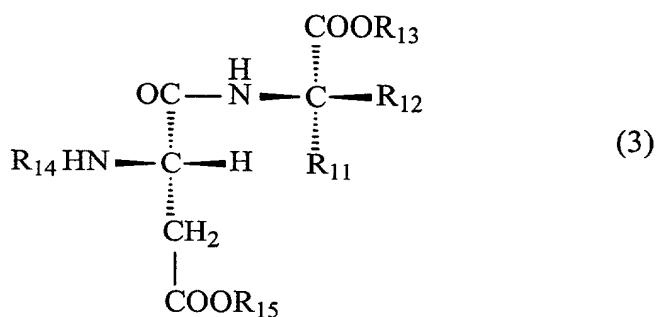
34. A method of producing the compound as defined in claim 1, comprising:
reacting under reductive alkylation conditions an aldehyde having the formula (5):



10¹⁰ $\mu\text{m}^2/\text{min}$ \times 10^{-10} cm^2/min

wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 , R_9 and R_{10} have the same meanings as R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 , R_9 and R_{10} , respectively in formula (1);

with an aspartame compound having the formula (3):



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wherein R₁₁, R₁₂ and R₁₃ in formula (3) have the same meanings as R₁₁, R₁₂ and R₁₃ in formula (1), R₁₄ is a hydrogen atom or a substituent which can be converted into a hydrogen atom and R₁₅ is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.